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(54) **TARTRATE SALTS OF 5,8, 14-
TRIAZATERACYCLO[10.3.1.0^{2,11}.0^{4,9}]-
HEXADECA-2(11),3,5,7,9-PENTAENE AND
PHARMACEUTICAL COMPOSITIONS
THEREOF**

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patent is extended or adjusted under 35
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A61K 31/495

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514/214.03; 544/343; 540/578

(56) **References Cited**

U.S. PATENT DOCUMENTS

3,471,503 A 10/1969 Carson 260/294.7
2002/0016498 A1 * 2/2002 Am Ende et al. 562/400

FOREIGN PATENT DOCUMENTS

EP	1078637	8/2000
EP	1078637	2/2001
WO	WO9935131	7/1999

OTHER PUBLICATIONS

Paul H. Mazzochi, et. al., "Synthesis and Pharmacological
Activity of 2,3,4,5-Tetrahydro-1,
5-Methano-1H-3-Benzazepines", J. Med. Chem., vol. 22,
No. 4, 1979, pp 455-457, XP002090422.

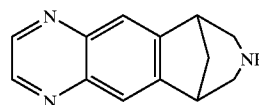
* cited by examiner

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(57) **ABSTRACT**

The present invention is directed to the tartrate salts of
5,8,14-triazatetracyclo[10.3.1.0^{2,11}.0^{4,9}]-hexadeca-2(11),3,
5,7,9-pentaene:



and pharmaceutical compositions thereof. The present
invention in particular is directed to the L-tartrate salt, and
further to the various polymorphs of the L-tartrate salt,
including two distinct anhydrous polymorphs (referred to
herein as Forms A and B) and a hydrate polymorph (referred
to herein as Form C). In addition, the present invention is
also directed to the D-tartrate salt of 5,8,14-triazatetracyclo
[10.3.1.0^{2,11}.0^{4,9}]-hexadeca-2(11),3,5,7,9-pentaene and the
various polymorphs thereof; as well as the D,L-tartrate salt
thereof and its polymorphs, and the meso-tartrate salt thereof
and its polymorphs.

40 Claims, 20 Drawing Sheets